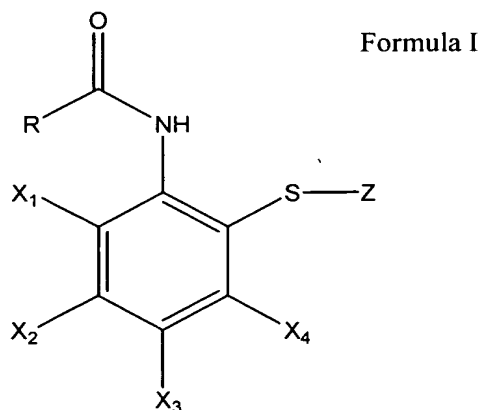


WHAT IS CLAIMED IS:

1. A pharmaceutical composition comprising a cholesteryl ester transfer protein inhibitor and crospovidone.
2. The pharmaceutical composition of claim 1, wherein a major portion of the cholesteryl ester transfer protein is crystalline.
3. The pharmaceutical composition of claim 1, wherein the cholesteryl ester transfer protein is substantially crystalline.
4. The pharmaceutical composition of claim 1, wherein the cholesteryl ester transfer protein is crystalline.
5. A pharmaceutical composition comprising a substantially crystalline cholesteryl ester transfer protein inhibitor and a water-insoluble concentration-enhancing additive, wherein the cholesteryl ester transfer protein inhibitor has the structure of Formula I



or a prodrug compound, pharmaceutically acceptable salt, enantiomer, stereoisomer, hydrate, or solvate thereof, in which

R represents

a substituted or unsubstituted C₃₋₁₀ cycloalkyl group or a substituted or unsubstituted C₅₋₈ cycloalkenyl group;

each of X₁, X₂, X₃, and X₄ may be the same or different and represents

a hydrogen atom;

a halogen atom;

a C₁₋₄ alkyl group;

a halo-C₁₋₄ alkyl group;
 a C₁₋₄ alkoxy group;
 a cyano group;
 a nitro group;
 an acyl group; or
 an aryl group; and

Z represents

a hydrogen atom;

–YR₁, wherein

Y represents –CO– or –CS–, and

R₁ represents

a substituted or unsubstituted straight chain or branched C₁₋₁₀ alkyl group;

a C₁₋₄ alkoxy group;

a C₁₋₄ alkylthio group;

a substituted or unsubstituted amino group;

a substituted or unsubstituted ureido group;

a substituted or unsubstituted C₃₋₁₀ cycloalkyl group;

a substituted or unsubstituted C₃₋₁₀ cycloalkyl C₁₋₁₀ alkyl group;

a substituted or unsubstituted aryl group;

a substituted or unsubstituted aralkyl group;

a substituted or unsubstituted arylalkenyl group;

a substituted or unsubstituted arylthio group;

a substituted or unsubstituted 5- or 6-membered heterocyclic group having 1-3 nitrogen, oxygen, or sulfur atoms; or

a substituted or unsubstituted 5- or 6-membered heteroarylalkyl group; or

–S–R₂, wherein

R₂ represents

a substituted or unsubstituted C₁₋₄ alkyl group or

a substituted or unsubstituted aryl group.

6. The composition of claim 5, wherein the cholesterol ester transfer protein inhibitor is crystalline.

7. The composition of claim 5, wherein the cholesterol ester transfer protein inhibitor and water-insoluble concentration-enhancing additive are in a weight ratio of about 2:1 to about 9:1.

8. The composition of claim 7, wherein the water-insoluble concentration-enhancing additive is crospovidone.

9. The composition of claim 5, wherein the cholesteryl ester transfer protein inhibitor is a compound selected from the group consisting of

N-(2-mercaptophenyl)-1-isopentylcyclohexanecarboxamide;
 N-(2-mercaptophenyl)-1-methylcyclohexanecarboxamide;
 N-(2-mercaptophenyl)-1-isopentylcyclopentanecarboxamide;
 N-(2-mercaptophenyl)-1-isopropylcyclohexanecarboxamide;
 N-(4,5-dichloro-2-mercaptophenyl)-1-isopentylcyclohexane-carboxamide;
 N-(4,5-dichloro-2-mercaptophenyl)-1-isopentylcyclopentane-carboxamide;
 N-(2-mercapto-5-methylphenyl)-1-isopentylcyclohexane-carboxamide;
 N-(2-mercapto-4-methylphenyl)-1-isopentylcyclohexane-carboxamide;
 S-[2-(1-isopentylcyclohexanecarbonylamino)phenyl]thio-acetate;
 S-[2-(1-methylcyclohexanecarbonylamino)phenyl]2,2-dimethylthiopropionate;
 S-[2-(1-isopentylcyclohexanecarbonylamino)phenyl]2,2-dimethylthiopropionate ;
 S-[2-(1-isopentylcyclohexanecarbonylamino)phenyl]2-acetylamino-3-phenylthio propionate;
 S-[2-(1-isopentylcyclohexanecarbonylamino)phenyl]3-pyridinethiocarboxylate;
 S-[2-(1-isopentylcyclohexanecarbonylamino)phenyl]chloro-thioacetate;
 S-[2-(1-isopentylcyclohexanecarbonylamino)phenyl]methoxy-thioacetate;
 S-[2-(1-isopentylcyclohexanecarbonylamino)phenyl]thio-propionate;
 S-[2-(1-isopentylcyclohexanecarbonylamino)phenyl]phenoxy-thioacetate;
 S-[2-(1-isopentylcyclohexanecarbonylamino)phenyl]2-methylthiopropionate;
 S-[2-(1-isopentylcyclohexanecarbonylamino)phenyl]4-chlorophenoxythioacetate;
 S-[2-(1-isopentylcyclohexanecarbonylamino)phenyl]cyclo-propanethiocarboxylate;
 S-[2-(1-isopentylcyclohexanecarbonylamino)phenyl]2-acetylamino-4-carbamoylthiobutyrate;
 S-[2-(1-isopentylcyclohexanecarbonylamino)phenyl]2-hydroxy-2-methylthiopropionate;
 S-[2-(1-isopentylcyclopentanecarbonylamino)phenyl]2,2-dimethylpropionate;
 2-[2-(1-isopentylcyclopentanecarbonylamino)phenyl]thio-acetate;
 S-[4,5-dichloro-2-(1-isopentylcyclohexanecarbonylamino)-phenyl]2,2-dimethylthiopropionate;

S-[4,5-dichloro-2-(1-isopentylcyclopentanecarbonylamino)-phenyl]2,2-dimethylthiopropionate;
 S-[2-(1-isopentylcyclohexanecarbonylamino)-4-trifluoromethylphenyl]2,2dimethylthiopropionate;
 O-methyl S-[2-(1-isopentylcyclohexanecarbonylamino)-phenyl]monothiocarbonate;
 S-[2-(1-methylcyclohexanecarbonylamino)phenyl]S-phenyl dithiocarbonate;
 S-[2-(1-isopentylcyclohexanecarbonylamino)phenyl]N-phenylthiocarbamate;
 S-[4,5-dichloro-2-(1-cyclopropylcyclohexanecarbonylamino)-phenyl]2,2-dimethylthiopropionate;
 S-[4,5-dichloro-2-(1-pentylcyclohexanecarbonylamino)-phenyl]2,2-dimethylthiopropionate;
 S-[4,5-dichloro-2-(1-cyclopropylmethylcyclohexanecarbonylamino)phenyl]2,2-dimethylthiopropionate;
 S-[4,5-dichloro-2-(1-cyclohexylmethylcyclohexanecarbonylamino)phenyl]2,2-dimethylthiopropionate;
 S-[4,5-dichloro-2-(1-isopropylcyclohexanecarbonylamino)phenyl]2,2-dimethylthiopropionate;
 S-[4,5-dichloro-2-(1-isopentylcycloheptanecarbonylamino)-phenyl]2,2-dimethylthiopropionate;
 S-[4,5-dichloro-2-(1-isopentylcyclobutanecarbonylamino)-phenyl]2,2-dimethylthiopropionate;
 S-[2-(1-isopentylcyclohexanecarbonylamino)-4-nitrophenyl]2,2-dimethylthiopropionate;
 S-[4-cyano-2-(1-isopentylcyclohexanecarbonylamino)phenyl]2,2-dimethylthiopropionate;
 S-[4-chloro-2-(1-isopentylcyclohexanecarbonylamino)phenyl]2,2-dimethylthiopropionate;
 S-[5-chloro-2-(1-isopentylcyclohexanecarbonylamino)phenyl]2,2-dimethylthiopropionate;
 S-[4-fluoro-2-(1-isopentylcyclohexanecarbonylamino)phenyl]2,2-dimethylthiopropionate;
 S-[4,5-difluoro-2-(1-isopentylcyclohexanecarbonylamino)-phenyl]2,2-dimethylthiopropionate;
 S-[5-fluoro-2-(1-isopentylcyclohexanecarbonylamino)-phenyl]2,2-dimethylthiopropionate;
 N-(2-mercaptophenyl)-1-ethylcyclohexanecarboxamide;
 N-(2-mercaptophenyl)-1-propylcyclohexanecarboxamide;
 N-(2-mercaptophenyl)-1-butylcyclohexanecarboxamide;
 N-(2-mercaptophenyl)-1-isobutylcyclohexanecarboxamide;
 S-[2-(1-isopentylcyclohexanecarbonylamino)phenyl]cyclo-hexanethiocarboxylate;
 S-[2-(1-isopentylcyclohexanecarbonylamino)phenyl]thio-benzoate;
 S-[2-(1-isopentylcyclohexanecarbonylamino)5-carboxythiopentanoate;
 S-[2-(1-isopentylcyclohexanecarbonylamino)-4-methylphenyl]thioacetate;
 N-(2-mercaptophenyl)-1-(2-ethylbutyl)cyclohexane-carboxamide;

S-[2-([1-(2-ethylbutyl)cyclohexyl]carbonyl)amino)phenyl] 2-methylpropanethioate;
S-[2-(1-isobutylcyclohexanecarbonylamino)phenyl]2-methyl-thiopropionate;
S-[2-[1-(2-ethylbutyl)cyclohexanecarbonylamino]phenyl]1-acetylpiperidine-4-thiocarboxylate;
S-[2-[1-(2-ethylbutyl)cyclohexanecarbonylamino]phenyl]thioacetate;
S-[2-[1-(2-ethylbutyl)cyclohexanecarbonylamino]phenyl]2,2-dimethylthiopropionate;
S-[2-[1-(2-ethylbutyl)cyclohexanecarbonylamino]phenyl]methoxythioacetate;
S-[2-[1-(2-ethylbutyl)cyclohexanecarbonylamino]phenyl]2-hydroxy-2-methylpropionate;
S-[2-[1-(2-ethylbutyl)cyclohexanecarbonylamino]phenyl]4-chlorophenoxythioacetate;
S-[2-(1-isobutylcyclohexanecarbonylamino)phenyl]4-chloro-phenoxythioacetate; and
S-[2-(1-isobutylcyclohexanecarbonylamino)phenyl]1-acetylpiperidine-4-thiocarboxylate;
or a prodrug compound, a pharmaceutically acceptable salt, a hydrate, or a solvate thereof.

10. The composition of claim 5, wherein the cholesteryl ester transfer protein inhibitor is a prodrug that forms S-[2-([1-(2-ethylbutyl)cyclohexyl]carbonyl)amino)phenyl] thiol *in vivo*.

11. The composition of claim 5, wherein the cholesteryl ester transfer protein inhibitor is S-[2-([1-(2-ethylbutyl)cyclohexyl]carbonyl)amino)phenyl] 2-methylpropanethioate.

12. The composition of claim 11, wherein the cholesteryl ester transfer protein inhibitor is crystalline.

13. The composition of claim 11, wherein the cholesterol ester transfer protein inhibitor and the water-insoluble concentration-enhancing additive are in a weight ratio of about 2:1 to about 9:1.

14. The composition of claim 13, wherein the water-insoluble concentration-enhancing additive is crospovidone.

15. A method for the treatment or prophylaxis of a cardiovascular disorder in a mammal, which comprises administering to the mammal a therapeutically effective amount of a pharmaceutical composition of claim 1.

16. The method of claim 15, wherein the cardiovascular disorder is selected from the group consisting of atherosclerosis, peripheral vascular disease, dyslipidemia,

hyperbetalipoproteinemia, hypoalphalipoproteinemia, hypercholesterolemia, hypertriglyceridemia, familial-hypercholesterolemia, angina, ischemia, cardiac ischemia, stroke, myocardial infarction, reperfusion injury, angioplastic restenosis, hypertension, and vascular complications of diabetes, obesity or endotoxemia.

17. The method of claim 15, wherein the cardiovascular disorder is selected from the group consisting of cardiovascular disease, coronary heart disease, coronary artery disease, hypoalphalipoproteinemia, hyperbetalipoproteinemia, hypercholesterolemia, hyperlipidemia, atherosclerosis, hypertension, hypertriglyceridemia, hyperlipidoproteinemia, peripheral vascular disease, angina, ischemia, and myocardial infarction.

18. The method of claim 15, wherein a maximum concentration of the cholesteryl ester transfer protein inhibitor, or active form thereof, in the bloodstream of a mammal is at least about 0.35 $\mu\text{g/mL}$ post-treatment relative to pretreatment when the cholesteryl ester transfer protein inhibitor is *S*-[2-([1-(2-ethylbutyl)cyclohexyl]carbonyl)amino)phenyl] 2-methylpropanethioate administered at a daily dose of 600 mg with food.

19. The method of claim 15, wherein a maximum concentration of the cholesteryl ester transfer protein inhibitor, or active form thereof, in the bloodstream of a mammal is at least about 0.8 $\mu\text{g/mL}$ post-treatment relative to pretreatment when the cholesteryl ester transfer protein inhibitor is *S*-[2-([1-(2-ethylbutyl)cyclohexyl]carbonyl)amino)phenyl] 2-methylpropanethioate administered at a daily dose of 900 mg with food.

20. The method of claim 15, wherein an area under the plasma concentration-time curve $\text{AUC}_{0-\infty}$ of the cholesteryl ester transfer protein inhibitor, or active form thereof, in the bloodstream of a mammal is at least about 3.5 $\mu\text{g}\cdot\text{h/mL}$ post-treatment relative to pretreatment when the cholesteryl ester transfer protein inhibitor is *S*-[2-([1-(2-ethylbutyl)cyclohexyl]carbonyl)amino)phenyl] 2-methylpropanethioate administered at a daily dose of 600 mg with food.

21. The method of claim 15, wherein an area under the plasma concentration-time curve $\text{AUC}_{0-\infty}$ of the cholesteryl ester transfer protein inhibitor, or active form thereof, in the bloodstream of a mammal is at least about 7.5 $\mu\text{g}\cdot\text{h/mL}$ post treatment relative to pretreatment when the cholesteryl ester transfer protein inhibitor is *S*-[2-([1-(2-

ethylbutyl)cyclohexyl]carbonyl]amino)phenyl] 2-methylpropanethioate administered at a daily dose of 900 mg with food.

22. The method of claim 15, wherein cholesteryl ester transfer protein activity in the bloodstream of a mammal is inhibited post-treatment by at least about 25% relative to CETP activity pretreatment when the cholesteryl ester transfer protein inhibitor is *S*-[2-([1-(2-ethylbutyl)cyclohexyl]carbonyl]amino)phenyl] 2-methylpropanethioate administered at a daily dose of 600 mg with food.

23. The method of claim 15, wherein cholesteryl ester transfer protein activity in the bloodstream of a mammal is inhibited post-treatment by at least about 35% relative to CETP activity pretreatment when the cholesteryl ester transfer protein inhibitor is *S*-[2-([1-(2-ethylbutyl)cyclohexyl]carbonyl]amino)phenyl] 2-methylpropanethioate administered at a daily dose of 900 mg with food.